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Aminomethylphosphonic and aminomethylphosphinic acids derivatives and their use in the treatment of degenerative articular diseases

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Abstract

Medicaments contg. alpha-amino-3,5-di-t-butyl-4-hydroxybenzyl- phosphorus cpds. of formula (I) or their salts or stereoisomers are new: R1, R2 = H, 1-12C alkyl*, 1-12C alkyl (substd. by one or more of (a) phenyl*; (b) phenyl substd. by 1-3 halo, 1-3C alkyl, 1-3C alkoxy, methylenedioxy, NO2, NH2, amidino, guanidino, OH, 2-7C alkoxy carbonyl and/or CN; (c) 5- or 6-membered monocyclic satd. heterocyclyl; (d) amino opt. mono- or disubstd. by 1-3C alkyl; and (e) 2-4C alkoxy carbonyl; tetrahydronaphthyl; phenyl*; phenyl substd. by 1-3 f 1-4C alkyl, 1-4C haloalkyl, halo*, NO2, SO2NH2, amidino, guanidino, 1-3C alkoxy, methylenedioxy, OH, COOH, CN, 2-4C alkoxy carbonyl, CH=CHCOOR (R = H or 1-3C alkyl), NH2 and/or NHQ(Q = a gp. of formula (I) minus NR1R2); naphthyl*; naphthyl substd. by one or more of the above phenyl substits.; heteroaryl opt. substd. by one or more of phenyl, benzyl and the above phenyl substits.; or NR1R2 = a 4-7-membered ring* or a 5- 7-membered ring in which a C atom is replaced by O, N, NPh or NQ; X, Y = H, 1-6C alkyl, 1-6C alkoxy, 3-6C alkenyloxy, OPh or OH. Also claimed are : (A) cpds. (I) where the asterisked definitions are omitted; (B) cpds. (I) where R1 = phenyl or mono-, di- or trihalophenyl, R2 = H and X and Y are as above but not alkoxy.

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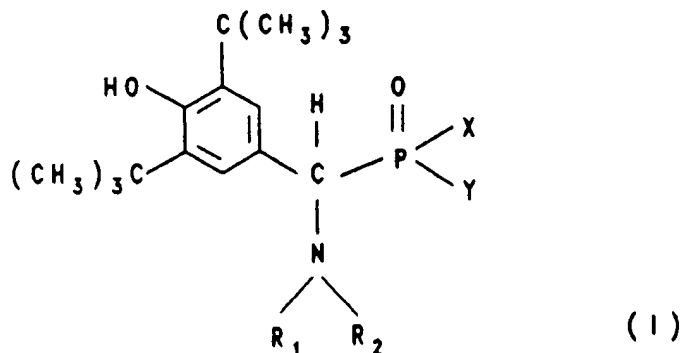
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(54) **Aminomethylphosphon- und Aminomethylphosphinsäure-Derivate und deren Verwendung zur Behandlung von degenerativen Gelenkserkrankungen**

(57) Verbindungen der Formel I



eignen sich zur Herstellung von Arzneimitteln zur Behandlung und Prophylaxe von degenerativen Gelenkserkrankungen, aber auch von Erkrankungen des rheumatischen Formenkreises, bei denen Knorpelabbau zu verzeichnen ist, wie bei der chronischen Polyarthritis, dem Gelenktrauma sowie bei Knorpelschwund nach längerer Immobilisation des Gelenks.

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